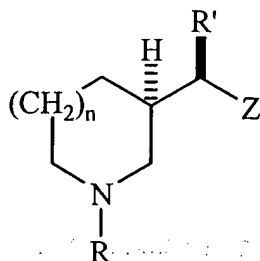


We claim:

1. A compound of formula I:

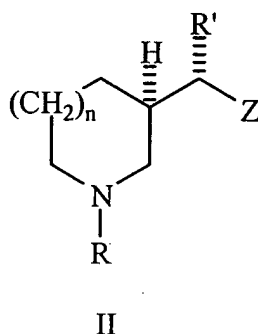


I

wherein

- n is 0, 1, or 2;
 - R is H, aralkyl, or -CO₂R';
 - R' is alkyl, aryl, or aralkyl;
 - Z is NHR'' or OH; and
 - R'' is H, alkyl, aryl, or aralkyl.
2. The compound of claim 1, wherein n is 1.
 3. The compound of claim 1, wherein R is Cbz.
 4. The compound of claim 1, wherein R is -CH₂CH₂Ph.
 5. The compound of claim 1, wherein R is H.
 6. The compound of claim 1, wherein R' is methyl.
 7. The compound of claim 1, wherein Z is OH.
 8. The compound of claim 1, wherein Z is NHR''; and R'' is phenyl.
 9. The compound of claim 1, wherein n is 1; and R is Cbz.
 10. The compound of claim 1, wherein n is 1; and R' is Me.
 11. The compound of claim 1, wherein n is 1; R' is Me; and Z is OH.
 12. The compound of claim 1, wherein n is 1; R' is Me; Z is OH; and R is Cbz.

13. The compound of claim 1, wherein n is 1; R' is Me; Z is NHR''; and R'' is phenyl.
14. The compound of claim 1, wherein n is 1; R' is Me; Z is NHR''; R'' is phenyl; and R is Cbz.
15. The compound of claim 1, wherein n is 1; R is Cbz; and R' is methyl.
16. The compound of claim 1, wherein n is 1; and R is -CH₂CH₂Ph.
17. The compound of claim 1, wherein n is 1; R is -CH₂CH₂Ph; and R' is methyl.
18. The compound of claim 1, wherein n is 1; R is -CH₂CH₂Ph; R' is methyl; and Z is OH.
19. The compound of claim 1, wherein n is 1; R is -CH₂CH₂Ph; R' is methyl; Z is NHR''; and R'' is phenyl.
20. A compound of formula II:



n is 0, 1, or 2;

R is H, alkyl, or -CO₂R';

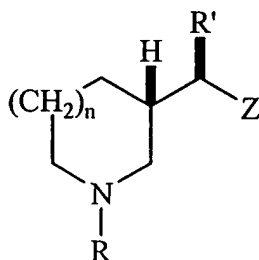
R' is alkyl, aryl, or aralkyl;

Z is NHR'' or OH; and

R'' is H, alkyl, aryl, or aralkyl.

21. The compound of claim 20, wherein n is 1.
22. The compound of claim 20, wherein R is Cbz.
23. The compound of claim 20, wherein R is -CH₂CH₂Ph.
24. The compound of claim 20, wherein R is H.
25. The compound of claim 20, wherein R' is methyl.

26. The compound of claim 20, wherein Z is OH.
27. The compound of claim 20, wherein Z is NHR''; and R'' is phenyl.
28. The compound of claim 20, wherein n is 1; and R is Cbz.
29. The compound of claim 20, wherein n is 1; and R' is Me.
30. The compound of claim 20, wherein n is 1; R' is Me; and Z is OH.
31. The compound of claim 20, wherein n is 1; R' is Me; Z is OH; and R is Cbz.
32. The compound of claim 20, wherein n is 1; R' is Me; Z is NHR''; and R'' is phenyl.
33. The compound of claim 20, wherein n is 1; R' is Me; Z is NHR''; R'' is phenyl; and R is Cbz.
34. The compound of claim 20, wherein n is 1; R is Cbz; and R' is methyl.
35. The compound of claim 20, wherein n is 1; and R is -CH₂CH₂Ph.
36. The compound of claim 20, wherein n is 1; R is -CH₂CH₂Ph; and R' is methyl.
37. The compound of claim 20, wherein n is 1; R is -CH₂CH₂Ph; R' is methyl; and Z is OH.
38. The compound of claim 20, wherein n is 1; R is -CH₂CH₂Ph; R' is methyl; Z is NHR''; and R'' is phenyl.
39. A compound of formula III:



III

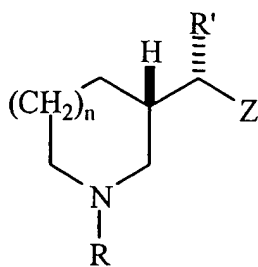
n is 0, 1, or 2;

R is H, aralkyl, or -CO₂R';

R' is alkyl, aryl, or aralkyl;

Z is NHR'' or OH; and

- R'' is H, alkyl, aryl, or aralkyl.
40. The compound of claim 39, wherein n is 1.
 41. The compound of claim 39, wherein R is Cbz.
 42. The compound of claim 39, wherein R is -CH₂CH₂Ph.
 43. The compound of claim 39, wherein R is H.
 44. The compound of claim 39, wherein R' is methyl.
 45. The compound of claim 39, wherein Z is OH.
 46. The compound of claim 39, wherein Z is NHR''; and R'' is phenyl.
 47. The compound of claim 39, wherein n is 1; and R is Cbz.
 48. The compound of claim 39, wherein n is 1; and R' is Me.
 49. The compound of claim 39, wherein n is 1; R' is Me; and Z is OH.
 50. The compound of claim 39, wherein n is 1; R' is Me; Z is OH; and R is Cbz.
 51. The compound of claim 39, wherein n is 1; R' is Me; Z is NHR''; and R'' is phenyl.
 52. The compound of claim 39, wherein n is 1; R' is Me; Z is NHR''; R'' is phenyl; and R is Cbz.
 53. The compound of claim 39, wherein n is 1; R is Cbz; and R' is methyl.
 54. The compound of claim 39, wherein n is 1; and R is -CH₂CH₂Ph.
 55. The compound of claim 39, wherein n is 1; R is -CH₂CH₂Ph; and R' is methyl.
 56. The compound of claim 39, wherein n is 1; R is -CH₂CH₂Ph; R' is methyl; and Z is OH.
 57. The compound of claim 39, wherein n is 1; R is -CH₂CH₂Ph; R' is methyl; Z is NHR''; and R'' is phenyl.
 58. A compound of formula IV:



IV

n is 0, 1, or 2;

R is H, aralkyl, or $-\text{CO}_2\text{R}'$;

R' is alkyl, aryl, or aralkyl;

Z is NHR'' or OH; and

R'' is H, alkyl, aryl, or aralkyl.

59. The compound of claim 58, wherein n is 1.
60. The compound of claim 58, wherein R is Cbz.
61. The compound of claim 58, wherein R is $-\text{CH}_2\text{CH}_2\text{Ph}$.
62. The compound of claim 58, wherein R is H.
63. The compound of claim 58, wherein R' is methyl.
64. The compound of claim 58, wherein Z is OH.
65. The compound of claim 58, wherein Z is NHR'' ; and R'' is phenyl.
66. The compound of claim 58, wherein n is 1; and R is Cbz.
67. The compound of claim 58, wherein n is 1; and R' is Me.
68. The compound of claim 58, wherein n is 1; R' is Me; and Z is OH.
69. The compound of claim 58, wherein n is 1; R' is Me; Z is OH; and R is Cbz.
70. The compound of claim 58, wherein n is 1; R' is Me; Z is NHR'' ; and R'' is phenyl.
71. The compound of claim 58, wherein n is 1; R' is Me; Z is NHR'' ; R'' is phenyl; and R is Cbz.

72. The compound of claim 58, wherein n is 1; R is Cbz; and R' is methyl.
73. The compound of claim 58, wherein n is 1; and R is $-\text{CH}_2\text{CH}_2\text{Ph}$.
74. The compound of claim 58, wherein n is 1; R is $-\text{CH}_2\text{CH}_2\text{Ph}$; and R' is methyl.
75. The compound of claim 58, wherein n is 1; R is $-\text{CH}_2\text{CH}_2\text{Ph}$; R' is methyl; and Z is OH.
76. The compound of claim 58, wherein n is 1; R is $-\text{CH}_2\text{CH}_2\text{Ph}$; R' is methyl; Z is NHR'' ; and R'' is phenyl.
77. A method of preparing an enantiomerically enriched 3-(1-hydroxyalkyl)-substituted cyclic amine, comprising the step of adding stereoselectively a nucleophilic alkyl or aryl to substantially one enantiomer of a 3-substituted cyclic amine, wherein the 3-substituent contains a carbonyl group, with a chiral transition metal complex and a metal alkyl or metal aryl to form said 3-(1-hydroxyalkyl)-substituted cyclic amine.
78. The method of claim 77, wherein said cyclic amine is a pyrrolidine.
79. The method of claim 77, wherein said cyclic amine is a piperidine.
80. The method of claim 77, wherein said cyclic amine is an azepine.
81. The method of claim 77, wherein said chiral transition metal complex is a TADDOL catalyst; and said metal alkyl is a zinc alkyl.
82. The method of claim 81, wherein said zinc alkyl is Me_2Zn .
83. The method of claim 77, wherein said chiral transition metal complex is a TADDOL catalyst; and said metal aryl is a zinc aryl.
84. The method of claim 83, wherein said zinc aryl is Ph_2Zn .
85. The method of claim 77, wherein said substantially one enantiomer of a 3-substituted cyclic amine has an R configuration; and said step of a stereochemical nucleophilic addition produces a chiral carbon having an R configuration.
86. The method of claim 77, wherein said substantially one enantiomer of a 3-substituted cyclic amine has an R configuration; and said step of a stereochemical nucleophilic addition produces a chiral carbon having an S configuration.

87. The method of claim 77, wherein said substantially one enantiomer of a 3-substituted cyclic amine has an *S* configuration; and said step of a stereochemical nucleophilic addition produces a chiral carbon having an *S* configuration.

88. The method of claim 77, wherein said substantially one enantiomer of a 3-substituted cyclic amine has an *S* configuration; and said step of a stereochemical nucleophilic addition produces a chiral carbon having an *R* configuration.

89. The method of claim 81 or 83, wherein said TADDOL catalyst comprises 2-naphthyl substitution.

90. The method of claim 77 wherein said substantially one enantiomer of a 3-formyl-cyclic amine is prepared by a method comprising the following steps:

protecting the nitrogen atom of substantially one enantiomer of a 3-ester substituted cyclic amine with a protecting group;

reducing said ester to form an alcohol; and

oxidizing said alcohol to an aldehyde.

91. The method of claim 90, wherein said cyclic amine is a pyrrolidine.

92. The method of claim 90, wherein said cyclic amine is a piperidine.

93. The method of claim 90, wherein said cyclic amine is an azepine.

94. The method of claim 90, wherein said protecting group is selected from the group consisting of Cbz and BOC.

95. The method of claim 90, wherein reducing said ester is carried out in one step with LAH.

96. The method of claim 90, wherein reducing said ester is carried out in two steps, wherein the first step converts said ester to an acid; and the second step converts said acid to an alcohol.

97. The method of claim 96, wherein said second step is carried out with $\text{BH}_3\text{-Me}_2\text{S}$.

98. The method of claim 90 or 92, further comprising the steps of:

reacting said 3-(1-hydroxyalkyl)-substituted cyclic amine with a sulfonyl halide or sulfonyl anhydride to produce a 3-(1-sulfonyloxyalkyl)-substituted cyclic amine;

reacting said 3-(1-sulfonyloxyalkyl)-substituted cyclic amine with an aryl amine or an aryl alcohol to give by a nucleophilic substitution reaction a 3-(1-arylaminoalkyl)-substituted cyclic amine or a 3-(1-aryloxyalkyl)-substituted cyclic amine.

99. The method of claim 98, further comprising the step of converting said amine to an amide.

100. The method of claim 99, further comprising the step of deprotecting the ring nitrogen of said cyclic amine.

101. The method of claim 100, further comprising the step of alkylating or aralkylating the ring nitrogen of said cyclic amine.

102. The method of claim 81 or 83, wherein about 5 mol% to about 20 mol% TADDOL catalyst is used.

103. The method of claim 81 or 83, wherein about 10 mol% to about 15 mol% TADDOL catalyst is used.

104. The method of claim 81 or 83, wherein about 15 mol% TADDOL catalyst is used.